

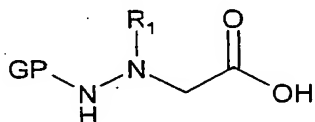
26. A process for the preparation of aza- β^3 amino acids characterized in that it comprises a stage of treatment of the substituted and protected hydrazine of the following formula (D):



wherein R_1 represents a side-chain selected from those of the amino acids, if necessary protected, and GP a protective group of amine functions, such as Boc, Fmoc, or Z,

with glyoxylic acid with stirring in the presence of NaBH_3CN in an acidic medium,

which leads in one stage to the aza- β^3 amino acid compound of formula



wherein R_1 and GP are as defined above, and the said compound can if necessary be deprotected, in particular by means of HCl, of piperidine, or of palladiated hydrogen, in order to remove the group GP and replace it with H.

27. Aza- β^3 amino acids of the following formulae:

Fmoc aza- β^3 -Glycine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Gly}-\text{OH}$),

Fmoc aza- β^3 -Lysine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Lys}(\text{Boc})-\text{OH}$),

Fmoc -aza- β^3 -Aspartic acid ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Asp}(\text{OtBu})-\text{OH}$),

Fmoc aza- β^3 -Methionine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Met}-\text{OH}$),

Fmoc aza- β^3 Arginine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Arg}(\text{Boc})-\text{OH}$),

Fmoc aza- β^3 -Tyrosine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Tyr}(\text{OCH}_2\text{OEt})-\text{OH}$),

Fmoc aza- β^3 Asparagine ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Asn}(\text{Trt})-\text{OH}$),

Fmoc aza- β^3 Proline ($\text{Fmoc}-\text{N}^{\alpha\text{H}}\text{Pro}-\text{OH}$).